PRODUCT INFORMATION

SCHERIPROCT® Ointment and Suppositories

NAME OF THE MEDICINE

Scheriproct (prednisolone hexanoate and cinchocaine hydrochloride).

Prednisolone-21-hexanoate is a corticosteroid. The chemical for prednisolone-21-hexanoate is 11β, 17, 21-trihydroxyprogna-1,4-diene-3,20-dione 21-hexanoate and has the following structural formula:

\[
\begin{align*}
\text{Molecular formula: } & C_{27}H_{38}O_6 \\
\text{Molecular weight: } & 458.6 \\
\text{CAS number: } & 69164-69-8
\end{align*}
\]

Cinchocaine hydrochloride is a corticosteroid. The chemical name for cinchocaine hydrochloride is 2-Butoxy-N-[2-(diethylamino)ethyl] quinoline-4-carboxamide hydrochloride and has the following structural formula:

\[
\begin{align*}
\text{Molecular formula: } & C_{20}H_{29}N_3O_2.HCl \\
\text{Molecular weight: } & 379.9 \\
\text{CAS number: } & 61-12-1
\end{align*}
\]

DESCRIPTION

The active ingredients of Scheriproct are synthetic corticosteroids, prednisolone hexanoate and cinchocaine hydrochloride.
Prednisolone hexanoate is an odourless, white or almost white, crystalline, hygroscopic powder. M.P 230° C. Very slightly soluble in water, soluble 1 in 27 of dehydrated alcohol, 1 in 30 of alcohol.

Cinchocaine hydrochloride is a fine, colourless or white; odourless or almost odourless hygroscopic crystals or white to off-white crystalline powder. M.P 96°-100° C. Soluble in 1 in 0.5 of water; freely soluble in alcohol and acetone; soluble in chloroform.

Scheriproct 1 g ointment contains 1.9 mg prednisolone hexanoate and 5 mg cinchocaine hydrochloride in an ointment base consisting of castor oil, octyldodecanol, hydrogenated castor oil, PEG-8 ricinoleate and Perfume Oil Chypre/740 049.

Scheriproct suppository, 1 suppository contains 1.3 mg prednisolone hexanoate and 1 mg cinchocaine hydrochloride in a hard fat base.

**PHARMACOLOGY**

**Pharmacodynamic Properties**

Prednisolone exerts an anti-inflammatory, anti-allergic and anti-pruritic effect. Capillary dilatation, intercellular oedema and tissue infiltration regress; capillary proliferation is suppressed.

As a local anaesthetic, cinchocaine eases the pain.

The Scheriproct haemorrhoidals are topical preparations, which display their anti-inflammatory and analgesic effects at the site of application.

**Pharmacokinetic Properties**

**Absorption**

The active ingredients diffuse out of the preparations into the inflamed tissue, are partly absorbed, distributed by the circulatory system, metabolised and finally excreted. In order to obtain a local therapeutic effect, pharmacologically effective plasma levels are not required.

Cinchocaine exerts its analgesic effect locally. Since no absorption studies are available, risk assessment was performed under the assumption of a complete absorption. If complete absorption takes place the plasma concentration is too low to elicit adverse effects.

**Distribution**

The active ingredients diffuse out of the preparations into the inflamed tissue, are partly absorbed and distributed by the circulatory system.

**Metabolism**

Following absorption cinchocaine is biotransformed into a number of metabolites. Of special importance here are the oxidative de-ethylation of the di-ethylamino function,
hydroxylation and oxidative degradation of the butyloxy-chain and the additional formation of unidentified polar metabolites.

**Excretion**
The active ingredients diffuse out of the preparations into the inflamed tissue, are partly absorbed, distributed by the circulatory system, metabolised and finally excreted.

**INDICATIONS**
Symptomatic relief of pain and irritation associated with haemorrhoids, superficial anal fissures and proctitis.

**CONTRAINDICATIONS**
- Tuberculous or syphilitic processes in the area to be treated
- Virus diseases (e.g. vaccinia, chickenpox)
- Hypersensitivity to individual components
- Traumatised skin
- Local infections where concomitant therapy is not in place (see PRECAUTIONS).

**PRECAUTIONS**
- Additional specific therapy is required in fungal infections.
- Inadvertent contact of the preparation with the eyes should be avoided.
- Careful hand washing after use is recommended.
- Prolonged use leads to atrophy.
- Systemic absorption may be increased when there is local trauma or prolonged use.
- The excipient(s) in Scheriproct ointment and suppository may reduce the effectiveness of latex products such as condoms.

**Effects on Fertility**
No animal studies have investigated the potential of prednisolone hexanoate or cinchocaine hydrochloride to impair fertility. However, a study in which rats were administered the related anaesthetic prilocaine hydrochloride or lignocaine hydrochloride at up to 30mg/kg/day SC for 8 months, showed no effects on reproduction.

**Use in Pregnancy**

Pregnancy - Category A

Epidemiological studies suggest that there could possibly be an increased risk of oral clefts among newborns of women who were treated with glucocorticosteroids during the first trimester of pregnancy.
No animal studies have investigated the teratogenic potential of any of the active substances in Scheriproct. However, teratology studies with prednisolone administered to mice on gestation days 11-14 showed dose related increases in cleft palate at SC doses of 3mg/kg/day and above, and at oral doses of 15mg/kg/day and above, typical of high exposures to other glucocorticoids. Since epidemiological studies have as yet given no indications of teratogenicity due to systemic glucocorticoid therapy, no teratogenic affects are to be expected from the glucocorticoids in Scheriproct under therapeutic conditions. However, taking animal-experimental results into consideration, particular care should be taken when prescribing Scheriproct during pregnancy.

As a general rule, topical preparations containing glucocorticoids should not be applied during the first trimester of pregnancy.

The clinical indication for treatment with Scheriproct must be carefully reviewed and the benefits weighed against the risks in pregnant women. In particular, prolonged use must be avoided.

**Use in Lactation**

There is insufficient information on the excretion of prednisolone hexanoate and cinchocaine hydrochloride in human milk.

The clinical indication for treatment with Scheriproct must be carefully reviewed and the benefits weighed against the risks in lactating women. In particular, prolonged use must be avoided.

**Genotoxicity**

In mouse lymphoma L5178Y cells, prednisolone induced DNA strand breaks, without metabolic activation, but was not mutagenic. In mutagenicity tests in *Salmonella typhimurium* strains TA98, 100,1535,1537 and 1538, prednisone was weakly mutagenic in strain TA 100 only, with metabolic activation, but was not mutagenic in Chinese hamster V79 cells.

**Carcinogenicity**

In male rats, administration of prednisolone in the drinking water at a daily dose of 0.4mg/kg for 2 years caused an increased incidence of hepatocellular tumours. Similar results were obtained with triamcinolone acetonide and budesonide, indicating a class effect of glucocorticosteroids. However, mice given dietary prednisone at daily levels up to 5mg/kg for 18 months showed no increases in tumour incidences, and some decreases, and an epidemiology study in rheumatoid arthritis patients showed a trend towards lower malignancy in patients treated with prednisone. The carcinogenic potential of cinchocaine hydrochloride has not been investigated.

**INTERACTIONS WITH OTHER MEDICINES**

No interaction studies have been performed.
Co-treatment with CYP3A inhibitors, including cobicistat-containing products, is expected to increase the risk of systemic side-effects, including adrenal suppression. The combination should be avoided unless the benefit outweighs the increased risk of systemic corticosteroid side-effects, and patients should be monitored accordingly.

**ADVERSE EFFECTS**

If Scheriproct is applied for long periods of time (more than 4 weeks) local concomitant symptoms, such as atrophy of the skin, cannot be excluded. Allergic skin reactions may occur in rare cases.

**DOSAGE AND ADMINISTRATION**

The anal region should be cleaned thoroughly before using Scheriproct, which is best applied after defecation. There is usually a rapid improvement, but this should not mislead one into stopping treatment too soon. To avoid relapses, Scheriproct should be continued for at least one week, though less frequently (ointment once a day or one suppository every other day), even when the symptoms have completely disappeared. However, duration of treatment should, as far as possible, not exceed 4 weeks.

**Scheriproct Ointment**

Unless otherwise prescribed by the doctor, generally, apply twice daily, on the first day, for faster symptomatic relief, up to four times.

Smear a little ointment (about the size of a pea) around the anus and in the anal ring with a finger and use the fingertip to overcome the resistance of the sphincter. Before applying within the rectum, the enclosed nozzle should be screwed on to the tube. However, for very inflamed and hence painful lesions, it is advisable initially to apply the ointment internally with the finger.

Protruding lumps should be thickly smeared and carefully pressed back with the finger.

**Scheriproct Suppositories**

In general, insert one suppository daily high into the rectum. If symptoms are severe, insert one suppository two to three times on the first day.

The consistency of suppositories that have become soft due to warmth should be restored by placing them in cold water before the covering is removed.

**OVERDOSAGE**

In the case of accidental oral intake of the preparation (e.g. by swallowing a few grams of the ointment or several suppositories) mainly systemic effects of the local anaesthetic cinchocaine hydrochloride are to be expected, which, according to the dose, may manifest themselves as severe cardiovascular (depression to cessation of cardiac function) and CNS symptoms (convulsions; inhibition to arrest of respiratory function).
For information on the management of overdose, contact the Poison Information Centre on 131 126 (Australia).

PRESENTATION AND STORAGE CONDITIONS

Scheriproct Ointment

Aluminium tubes containing 10 g and 30 g of colourless to slightly yellow translucent ointment.
1 g of ointment contains 1.9 mg prednisolone hexanoate and 5 mg cinchocaine hydrochloride.

Store below 25°C.

Scheriproct Suppositories

Boxes containing an aluminium laminated strip pack of 6 or 12 yellowish-white suppositories.
1 suppository contains 1.3 mg prednisolone hexanoate and 1 mg cinchocaine hydrochloride.

Store at 2°C to 8°C. Refrigerate. Do not freeze.

Store all medicines properly and keep them out of reach of children.

NAME AND ADDRESS OF THE SPONSOR

Bayer Australia Ltd
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875 Pacific Highway
Pymble NSW 2073
Australia

POISON SCHEDULE OF THE MEDICINE

S4 – Prescription Only Medicine.

DATE OF FIRST INCLUSION IN THE AUSTRALIAN REGISTER OF THERAPEUTIC GOODS (THE ARTG)

2 May 2000

DATE OF MOST RECENT AMENDMENT

19 October 2017
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